Am ndments to the Claims

This listing of claims will replace all prior versions, and listings, of claims in the application:

A method of treatment of bacterial infections in mammals, which 1. (Original) method comprises the administration to a mammal in need of such treatment of an effective amount of a compound of formula (I) or a pharmaceutically acceptable derivative thereof:

$$\begin{array}{c|c}
A-B-(CH_2)_{\overline{n}} & & \\
R^1 & Z^1 & & \\
Z^2 & Z^3 & & \\
N & Z^4 & & \\
\end{array}$$

(1)

wherein:

one of Z^1 , Z^2 , Z^3 , Z^4 and Z^5 is N or CR^{1a} and the remainder are CH;

 R^1 is selected from hydroxy; (C₁₋₆) alkoxy optionally substituted by (C₁₋₆)alkoxy, amino, piperidyl, guanidino or amidino optionally N-substituted by one or two (C₁₋₆)alkyl, acyl or (C₁₋₆)alkylsulphonyl groups, NH₂CO, hydroxy, thiol, (C₁₋₆)alkylthio, heterocyclylthio, heterocyclyloxy, arylthio, aryloxy, acylthio, acyloxy or (C_{1-6}) alkylsulphonyloxy; (C_{1-6}) alkoxysubstituted (C₁₋₆)alkyl; halogen; (C₁₋₆)alkyl; (C₁₋₆)alkylthio; trifluoromethyl; nitro; azido; acyl; acyloxy; acylthio; (C_{1-6}) alkylsulphonyl; (C_{1-6}) alkylsulphoxide; arylsulphonyl; arylsulphoxide or an amino, piperidyl, guanidino or amidino group optionally N-substituted by one or two (C_{1-6})alkyl, acyl or (C_{1-6})alkylsulphonyl groups, or when one of Z^1 , Z^2 , Z^3 , Z^4 and Z⁵ is N, R¹ may instead be hydrogen;

R^{1a} is selected from hydrogen and the groups listed above for R¹;

R3 is in the 2- or 3-position and is:

carboxy; (C_{1-6}) alkoxycarbonyl; aminocarbonyl wherein the amino group is optionally substituted by hydroxy, (C₁₋₆)alkyl, hydroxy(C₁₋₆)alkyl, aminocarbonyl(C₁₋₆)alkyl, (C₂₋₈) alkyl, aminocarbonyl(C₁₋₆)alkyl, (C₂₋₈) alkyl, aminocarbonyl(C₁₋₆)alkyl, aminocarbonyl(C₁₋₆)alkyl, aminocarbonyl(C₁₋₆)alkyl, (C₂₋₈)alkyl, aminocarbonyl(C₁₋₆)alkyl, aminocarbonyl(C₁₋₆)alkyl, (C₂₋₈)alkyl, aminocarbonyl(C₁₋₆)alkyl, aminocarbonyl(C₁₋₆)alkyl, (C₂₋₈)alkyl, aminocarbonyl(C₁₋₆)alkyl, (C₂₋₈)alkyl, (C₂₋₈)alkyl, aminocarbonyl(C₁₋₆)alkyl, (C₂₋₈)alkyl, (C₂₋₈)a 6)alkenyl, (C_{1-6})alkylsulphonyl, trifluoromethylsulphonyl, (C_{1-6})alkenylsulphonyl, (C_{1-6}) 6)alkoxycarbonyl, (C₁₋₆)alkylcarbonyl, (C₂₋₆)alkenyloxycarbonyl or (C₂₋₆)alkenylcarbonyl and optionally further substituted by (C_{1-6})alkyl, hydroxy(C_{1-6})alkyl, aminocarbonyl(C_{1-6}) 6)alkyl or (C2-6)alkenyl; cyano; tetrazolyl; 2-oxo-oxazolidinyl optionally substitut d by R¹⁰; U.S. Serial No. 09/889,820 Group Art Unit: 1614

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3-hydroxy-3-cyclobut ne-1,2-dione-4-yl; 2,4-thiazolidinedione-5-yl; tetrazol-5-ylaminocarbonyl; 1,2,4-triazol-5-yl optionally substituted by R¹⁰; or 5-oxo-1,2,4-oxadiazol-3-yl; or

 R^3 is in the 2- or 3-position and is (C_{1-4}) alkyl or thenyl substituted with any of the groups listed above for R^3 and 0 to 2 groups R^{12} independently selected from:

thiol; halogen; (C_{1-6})alkylthio; trifluoromethyl; azido; (C_{1-6})alkoxycarbonyl; (C_{1-6}) 6)alkylcarbonyl; (C2-6)alkenyloxycarbonyl; (C2-6)alkenylcarbonyl; hydroxy optionally substituted by (C₁₋₆)alkyl, (C₂₋₆)alkenyl, (C₁₋₆)alkoxycarbonyl, (C₁₋₆)alkylcarbonyl, (C₂₋₆) 6)alkenyloxycarbonyl, (C2-6)alkenylcarbonyl or aminocarbonyl wherein the amino group is optionally substituted by (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{1-6})alkylcarbonyl or (C_{2-6}) 6)alkenylcarbonyl; amino optionally mono- or disubstituted by (C₁₋₆)alkoxycarbonyl, (C₁₋ 6)alkylcarbonyl, (C2-6)alkenyloxycarbonyl, (C2-6)alkenylcarbonyl, (C1-6)alkyl, (C2-6)alkenyl, (C₁₋₆)alkylsulphonyl, (C₂₋₆)alkenylsulphonyl or aminocarbonyl wherein the amino group is optionally substituted by (C₁₋₆)alkyl or (C₂₋₆)alkenyl; aminocarbonyl wherein the amino group is optionally substituted by (C₁₋₆)alkyl, hydroxy(C₁₋₆)alkyl, aminocarbonyl(C₁₋₆)alkyl, (C2-6)alkenyl, (C1-6)alkoxycarbonyl, (C1-6)alkylcarbonyl, (C2-6)alkenyloxycarbonyl or (C2-6)alkenyloxycarbonyl (C1-6)alkylcarbonyl, (C1-6)alkenyloxycarbonyl (C1-6)alkylcarbonyl, (C1-6)alkenyloxycarbonyl (C1-6)alkylcarbonyl, (C1-6)alkylcarbonyl, (C1-6)alkenyloxycarbonyl (C1-6)alkylcarbonyl, (C1-6)alkyl 6)alkenylcarbonyl and optionally further substituted by (C₁₋₆)alkyl, hydroxy(C₁₋₆)alkyl, aminocarbonyl(C₁₋₆)alkyl or (C₂₋₆)alkenyl; oxo; (C₁₋₆)alkylsulphonyl; (C₂₋ 6)alkenylsulphonyl; or (C₁₋₆)aminosulphonyl wherein the amino group is optionally substituted by (C₁₋₆)alkyl or (C₂₋₆)alkenyl; provided that when R³ is disubstituted with hydroxy or amino and carboxy containing substituents these may optionally together form a cyclic ester or amide linkage, respectively; and provided that R^3 is other than (C_{1-4}) alkyl or ethenyl substituted by (C_{1-6}) alkoxycarbonyl or aminocarbonyl optionally substituted by (C₁₋₆)alkyl, (C₂₋₆)alkenyl, (C₁₋₆)alkoxycarbonyl, (C_{1-6}) alkylcarbonyl, (C_{2-6}) alkenyloxycarbonyl or (C_{2-6}) alkenylcarbonyl and optionally further substituted by (C₁₋₆)alkyl, hydroxy(C₁₋₆)alkyl, aminocarbonyl(C₁₋₆)alkyl or (C₂₋ 6)alkenyl and 0 to 2 groups R12;

wherein R^{10} is selected from (C_{1-4}) alkyl; (C_{2-4}) alkenyl; aryl; a group R^{12} as defined above; carboxy; aminocarbonyl wherein the amino group is optionally substituted by hydroxy, (C_{1-6}) alkyl, (C_{2-6}) alkenyl, (C_{1-6}) alkylsulphonyl, trifluoromethylsulphonyl, (C_{1-6}) alkenylsulphonyl, (C_{1-6}) alkoxycarbonyl, (C_{1-6}) alkylcarbonyl, (C_{2-6}) alkenylcarbonyl and optionally further substituted by (C_{1-6}) alkyl or (C_{2-6}) alkenyl; cyano; or tetrazolyl;

R⁴ is a group -CH₂-R⁵ in which R⁵ is selected from:

 $(C_{3-12})alkyl; \ hydroxy(C_{3-12})alkyl; \ (C_{1-12})alkoxy(C_{3-12})alkyl; \ (C_{1-12})alkyl; \ (C_{1-12})alkyl; \ hydroxy-, \ (C_{1-12})alkoxy- \ or \ (C_{1-12})alkyl; \ hydroxy-, \ (C_{1-12})alkoxy- \ or \ (C_{1-12})alkyl; \ hydroxy-, \ h$

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12)alkanoyloxy- (C_{3-6}) cycloalkyl (C_{3-12}) alkyl; cyano (C_{3-12}) alkyl; (C_{2-12}) alkenyl; (C_{2-12}) alkynyl; tetrahydrofuryl; mono- or di- (C_{1-12}) alkylamino (C_{3-12}) alkyl; acylamino (C_{3-12}) alkyl; (C_{1-12}) alkyl- or acyl-aminocarbonyl (C_{3-12}) alkyl; mono- or di- (C_{1-12}) alkylamino (C_{3-12}) alkyl; optionally substituted phenyl (C_{1-2}) alkyl, phenoxy (C_{1-12}) alkyl or phenyl (C_{1-2}) alkyl; optionally substituted diphenyl (C_{1-2}) alkyl; optionally substituted phenyl (C_{2-3}) alkenyl; optionally substituted benzoyl or benzoylmethyl; optionally substituted heteroaryl (C_{1-2}) alkyl; and optionally substituted heteroaroyl or heteroaroylmethyl;

n is 0, 1 or 2;

either A-B is NHC(O)NH or NHC(O)O, or

A is NR¹¹, O, S(O)_X or CR⁶R⁷ and B is NR¹¹, O, S(O)_X or CR⁸R⁹ where x is 0, 1 or 2 and wherein:

each of R^6 and R^7 R^8 and R^9 is independently selected from: H; thiol; (C₁₋₆)alkylthio; halo; trifluoromethyl; azido; (C₁₋₆)alkyl; (C₂₋₆)alkenyl; (C₁₋₆)alkoxycarbonyl; (C₁₋₆)alkylcarbonyl; (C₂₋₆)alkenyloxycarbonyl; (C₂₋₆)alkenylcarbonyl; hydroxy, amino or aminocarbonyl optionally substituted as for corresponding substituents in R^3 ; (C₁₋₆)alkylsulphonyl; (C₂₋₆)alkenylsulphonyl; or (C₁₋₆)aminosulphonyl wherein the amino group is optionally substituted by (C₁₋₆)alkyl or (C₁₋₆)alkenyl;

or R^6 and R^8 together represent a bond and R^7 and R^9 are as above defined; or R^6 and R^8 together represent –O- and R^7 and R^9 are both hydrogen; or R^6 and R^7 or R^8 and R^9 together represent oxo;

and each R^{11} is independently H, trifluoromethyl, (C_{1-6}) alkyl, (C_{1-6}) alkenyl, (C_{1-6}) alkenyl, (C_{1-6}) alkylcarbonyl, aminocarbonyl wherein the amino group is optionally substituted by (C_{1-6}) alkoxycarbonyl, (C_{1-6}) alkylcarbonyl, (C_{1-6}) alkenylcarbonyl, (C_{1-6}) alkenylcarbonyl, (C_{1-6}) alkenyl and optionally further substituted by (C_{1-6}) alkyl or (C_{1-6}) alkenyl;

provided that A and B cannot both be selected from NR^{11} , O and $S(O)_X$ and when one of A and B is CO the other is not CO, O or $S(O)_X$.

Claims 2-11. (Cancelled)

12. (Original) A pharmaceutical composition for use in the treatment of bacterial infections in mammals comprising a compound of formula (I) as defined in claim 1, or a pharmaceutically acceptable derivative thereof, and a pharmaceutically acceptable carrier.

13. (Cancelled)

- 14. (New) A method according to claim 1 which comprises administering a compound of formula (IA) or a pharmaceutically acceptable derivative thereof which is a compound of formula (I) as defined in claim 1 wherein \mathbb{R}^3 is other than (C_{1-6}) alkoxycarbonyl; optionally substituted aminocarbonyl, CN or COOH.
- 15. (New) A method according to claim 1 which comprises administering a compound in which Z^5 is CH or N and Z^1 - Z^4 are each CH.
- 16. (New) A method according to claim 1 which comprisies administering a compound in which R^1 is methoxy, amino- or guanidino- (C_{3-5}) alkyloxy, guanidino(C_{3-5})alkyloxy, piperidyl(C_{3-5})alkyloxy, nitro or fluoro, and R^{1a} is hydrogen.
- 17. (New) A method according to claim 1 which comprisies administering a compound in which R³ is in the 3-position and is CH₂CO₂H or 2-oxo-oxazolidinyl.
- 18. (New) A method according to claim 1 which comprisies administering a compound in which $AB(CH_2)_n$ is $(CH_2)_3$.
- 19. (New) A method according to claim 1 which comprisies administering a compound in which R^4 is (C_{5-10}) alkyl, unsubstituted phenyl (C_{2-3}) alkyl or unsubstituted phenyl (C_{3-4}) alkenyl.
- 20. (New) A method according to claim 1 which comprisies administering a compound in which Z^5 is CH or N and Z^1 - Z^4 are each CH; R^1 is methoxy, amino- or guanidino-(C_{3-5})alkyloxy, guanidino-(C_{3-5})alkyloxy, piperidyl(C_{3-5})alkyloxy, nitro or fluoro, and R^{1a} is hydrogen; R^3 is in the 3-position and is CH₂CO₂H or 2-oxo-oxazolidinyl; AB(CH₂)_n is (CH₂)₃; and R^4 is (C_{5-10})alkyl, unsubstituted phenyl(C_{2-3})alkyl or unsubstituted phenyl(C_{3-4})alkenyl.
- 21. (New) A method according to claim 1 which comprisies administering a compound which is:
- [3R, 4R]-1-Heptyl-3-(1-(R or S)-hydroxy-2-cyanoethyl)-4-[3-(6-methoxyquinolin-4-yl)propyl]piperidine;
- [3R, 4R]-1-Heptyl-3-(2-(R or S)-oxo-oxazolidin-5-yl)-4-[3-(6-methoxyquinolin-4-yl) propyl] piperidine;
- [3R, 4R]-1-Heptyl-3-(2-cyanoethyl)-4-[3-(6-methoxyquinolin-4-yl) propyl] piperidine;
- [3R, 4R]-1-Heptyl-3-(3-carboxyethyl)-4-[3-(6-methoxyquinolin-4-yl) propyl] piperidine;

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[3R, 4R]-1-Heptyl-3-carboxy-4-[3-(6-methoxyquinolin-4-yl) propyl] piperidine;

[3R, 4R]-1-Heptyl-3-(carboxymethyl)-4-[3-(6-methoxyquinolin-4-yl) propyl] piperidine;

[3R, 4R]-1-Heptyl-3-(1-(R or S)-hydroxy-2-carboxyethyl)-4-[3-(6-methoxyquinolin-4yl)propyl]piperidine;

[3R, 4R]-1-Heptyl-3-(2-(E-)-carboxyethenyl)-4-[3-(6-methoxyquinolin-4-yl)propyl]piperidine;

N-(cis-3-(R/S)-Ethoxycarbonyl-1-heptyl-4-(S/R)-piperidyl)-N'-(6-methoxyquinolin-4-yl)urea;

N-(cis-3-(R/S)-Ethoxycarbonyl-1-heptyl-4-(S/R)-piperidyl)-N'-(6-methoxy-[1,5]-naphthyridin-4-

N-(cis-3-(R/S)-Aminocarbonyl-1-heptyl-4-(S/R)-piperidyl)-N'-(6-methoxy-[1,5]-naphthyridin-4yl)urea;

[3R, 4R]-1-Heptyl-4-[3-(R/S)-hydroxy-3-(6-methoxyquinolin-4-yl)propyl]-3-(2-(R or S)-oxooxazolidin-5-yl)-piperidine;

[3R, 4R]-1-Heptyl-3-cyanomethyl-4-[3-(R/S)-hydroxy-3-(6-methoxyquinolin-4yl)propyl]piperidine;

[3R, 4R]-1-Heptyl-3-cyanomethyl-4-(2-(R)-hydroxy-3-(6-methoxyquinolin-4yl)propyl]piperidine;

N-(cis-3-(R/S)-Carboxy-1-heptyl-4-(S/R)-piperidyl)-N'-(6-methoxyquinolin-4-yl)urea; cis-3-(R/S)-Ethoxycarbonyl-1-heptyl-4-(S/R)-(6-methoxyquinolin-4-yl)aminocarbonyloxypiperidine;

cis-3-(R/S)-Carboxy-1-heptyl-4-(S/R)-(6-methoxyquinolin-4-yl)aminocarbonyl-oxypiperidine; a compound 18-36 from Table 1;

or a pharmaceutically acceptable derivative of any of the foregoing compounds.

A process for preparing compounds of formula (IA) as defined in claim 2, or a 22. (New) pharmaceutically acceptable derivative thereof, which process comprises:

(a) reacting a compound of formula (IV) with a compound of formula (V):

$$R^{18'}$$
 $Z^{2'}$
 $Z^{3'}$
 $N^{2'}$
 $N^{2'}$
 $N^{2'}$
 N^{2}
 $N^{2'}$
 N

wherein Z^1 , Z^2 , Z^3 , Z^4 and Z^5 , m, n, R^1 , R^2 , R^3 and R^4 are as defined in formula (I), and Xand Y may be the following combinations:

- X is M and Y is CH2CO2RX (i)
- X is CO₂RY and Y is CH₂CO₂RX (ii)

- one of X and Y is CH=SPh2 and the other is CHO (iii)
- X is CH₃ and Y is CHO (iv)
- X is CH₃ and Y is CO₂R^X (v)
- X is CH2CO2RY and Y is CO2RX (vi)
- X is CH=PRZ3 and Y is CHO (vii)
- X is CHO and Y is CH=PRZ3 (viii)
- X is halogen and Y is CH=CH₂ (ix)
- one of X and Y is COW and the other is NHR^{11} or NCO
- one of X and Y is $(CH_2)_p$ -V and the other is $(CH_2)_qNHR^{11}$, $(CH_2)_qOH$, $(CH_2)_qSH$ (x) (xi) or (CH₂)_qSCOR^X where p+q=1
- one of X and Y is CHO and the other is NHR11' (xii)
- one of X and Y is OH and the other is -CH=N2 in which V and W are leaving groups, R^{χ} and R^{χ} are (C₁₋₆)alkyl and R^{χ} is aryl or (C₁₋₆) 6)alkyl, or
- (xiv) X is NCO, Y is OH or NH2;
- (b) reacting a compound of formula (IV) with a compound of formula (Vb):

wherein Z^1 , Z^2 , Z^3 , Z^4 and Z^5 , m, n, R^1 , R^2 , R^3 and R^4 are as defined in formula (I), X is CH₂NHR^{11'} and Y is CHO or COW or X is CH₂OH and Y is -CH=N₂;

(c) rearranging a compound of formula (II):

to give a compound of formula (III) which is a compound of formula (I) where Z^{1} - Z^{5} are CH, n is 1, A-B is COCH₂ and R² is H, or a compound of formula (VII) which is a compound of formula (I) where n is 1, A-B is CHOHCH $_2$ or CH $_2$ CHOH and R 2 is H; or

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(d) photooxygenating a compound of formula (VI):

in which $Z^{1'}$ - $Z^{5'}$ are Z^{1} - Z^{5} or groups convertible thereto, $R^{11'}$, $R^{1'}$, $R^{2'}$, $R^{3'}$ and $R^{4'}$ are R^{11} , R^{1} , R^{2} , R^{3} and R^{4} or groups convertible thereto, and thereafter optionally or as necessary converting $R^{11'}$, $R^{1'}$, $R^{2'}$, $R^{3'}$ and $R^{4'}$ to $R^{11'}$, R^{1} , R^{2} , R^{3} and R^{4} , converting $Z^{1'}$ - $Z^{5'}$ to Z^{1-} - Z^{5} , converting A-B to other A-B, interconverting R^{11} , R^{1} , R^{2} , R^{3} and/or R^{4} and forming a pharmaceutically acceptable derivative thereof.

- 23. (New) A pharmaceutical composition comprising a compound of formula (IA) as defined in claim 2, or a pharmaceutically acceptable derivative thereof, and a pharmaceutically acceptable carrier.
- 24. (New) The use of a compound of formula (I) as defined in claim 1 or a pharmaceutically acceptable derivative thereof in the manufacture of a medicament for use in the treatment of bacterial infections in mammals.